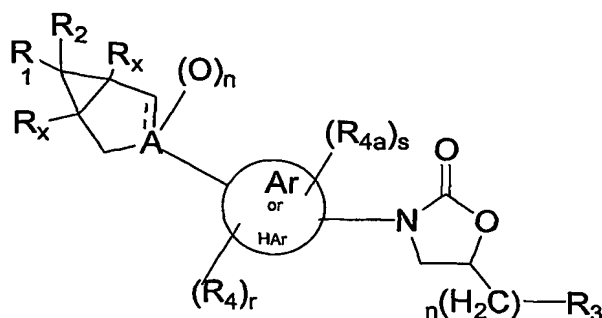


What is Claimed Is:

1. The present invention relates to compounds of formula I:



I

its enantiomer, diastereomer, or pharmaceutically acceptable salt, hydrate or prodrug thereof wherein:

$R_1$  and  $R_2$  independently represent

hydrogen,  $NR_5R_6$ ,  $CR_7R_8R_9$ ,  $C(R)_2OR_{14}$ ,  $CH_2NHR_{14}$ ,  $C(=O)R_{13}$ ,  $C(=NOH)H$ ,  $C(=NOR_{13})H$ ,  $C(=NOR_{13})R_{13}$ ,  $C(=NOH)R_{13}$ ,  $C(=O)N(R_{13})_2$ ,  $C(=NOH)N(R_{13})_2$ ,  $NHC(=X_1)N(R_{13})_2$ ,  $(C=NH)R_7$ ,  $N(R_{13})C(=X_1)N(R_{13})_2$ ,  $COOR_{13}$ ,  $SO_2R_{14}$ ,  $N(R_{13})SO_2R_{14}$ ,  $N(R_{13})COR_{14}$ ,  $(C_{1-6}alkyl)CN$ ,  $CN$ ,  $CH=C(R)_2$ ,  $C(R_4)_2X_1SiR_{16}$ ,  $(CH_2)_pOH$ ,  $C(=O)CHR_{13}$ ,  $C(=NR_{13})R_{13}$ ,  $NR_{10}C(=X_1)R_{13}$ ; or C5-10 heterocycle optionally substituted with 1-3 groups of  $R_7$ , which may be attached through either a carbon or a heteroatom;


A represents C (when --- is present), CH or N (when --- is not present);

--- represents a bond;



represents aryl or heteroaryl, heterocycle, heterocyclyl or heterocyclic, provided that in the case of a heteroaryl, heterocycle, heterocyclyl or heterocyclic, a cyclopropyl is not attached to a nitrogen atom on the ring;

R<sub>x</sub> represents hydrogen or C<sub>1-6</sub> alkyl;

R<sub>3</sub> represents  which is an optionally substituted aromatic heterocyclic group containing at least one nitrogen in the ring and which is attached through a bond on any N, and which is unsubstituted or contains 1 to 3 substituents of R<sub>7</sub>

R<sub>4</sub> and R<sub>4a</sub> independently represent  
hydrogen,  
halogen,  
C<sub>1-6</sub> alkoxy, or  
C<sub>1-6</sub> alkyl

r and s independently are 1-3, with the provision that when (R<sub>4a</sub>)<sub>s</sub> and (R<sub>4</sub>)<sub>r</sub> are attached to an Ar or HAr ring the sum of r and s is less than or equal to 4;

R<sub>5</sub> and R<sub>6</sub> independently represent  
hydrogen, C<sub>1-6</sub> alkyl optionally substituted with 1-3 groups of halogen, CN, OH, C<sub>1-6</sub> alkoxy, amino, imino, hydroxyamino, alkoxyamino, C<sub>1-6</sub> acyloxy, C<sub>1-6</sub> alkylsulfenyl, C<sub>1-6</sub> alkylsulfinyl, C<sub>1-6</sub> alkylsulfonyl, aminosulfonyl, C<sub>1-6</sub> alkylaminosulfonyl, C<sub>1-6</sub> dialkylaminosulfonyl, 4-morpholinylsulfonyl, phenyl, pyridine, 5-isoxazolyl, ethylenyloxy, or ethynyl, said phenyl and pyridine optionally substituted with 1-3 halogen, CN, OH, CF<sub>3</sub>, C<sub>1-6</sub> alkyl or C<sub>1-6</sub> alkoxy; C<sub>1-6</sub> acyl optionally substituted with 1-3 groups of halogen, OH, SH, C<sub>1-6</sub> alkoxy, naphthalenoxy, phenoxy, amino, C<sub>1-6</sub> acylamino, hydroxylamino, alkoxyamino, C<sub>1-6</sub> acyloxy, aralkyloxy, phenyl, pyridine, C<sub>1-6</sub> alkylcarbonyl, C<sub>1-6</sub> alkylamino, C<sub>1-6</sub> dialkylamino, C<sub>1-6</sub> hydroxyacyloxy, C<sub>1-6</sub> alkylsulfenyl, phthalimido, maleimido, succinimido, said phenoxy, phenyl and pyridine optionally substituted with 1-3 groups of halo, OH, CN, C<sub>1-6</sub> alkoxy, amino, C<sub>1-6</sub> acylamino, CF<sub>3</sub> or C<sub>1-6</sub> alkyl; C<sub>1-6</sub> alkylsulfonyl optionally substituted with 1-3 groups of halogen, OH, C<sub>1-6</sub> alkoxy, amino, hydroxylamino, alkoxyamino, C<sub>1-6</sub> acyloxy, or phenyl; said phenyl optionally substituted with 1-3 groups of halo, OH, C<sub>1-6</sub> alkoxy, amino, C<sub>1-6</sub> acylamino, CF<sub>3</sub> or C<sub>1-6</sub> alkyl; arylsulfonyl optionally substituted with 1-3 of halogen, C<sub>1-6</sub> alkoxy, OH or C<sub>1-6</sub> alkyl;

C1-6 alkoxy carbonyl optionally substituted with 1-3 of halogen, OH, C1-6 alkoxy, C1-6 acyloxy, or phenyl, said phenyl optionally substituted with 1-3 groups of halo, OH, C1-6 alkoxy, amino, C1-6 acylamino, CF<sub>3</sub> or C1-6 alkyl; aminocarbonyl, C1-6 alkylaminocarbonyl or C1-6 dialkylaminocarbonyl, said alkyl groups optionally substituted with 1-3 groups of halogen, OH, C1-6 alkoxy or phenyl, five to six membered heterocycles optionally substituted with 1-3 groups of halogen, OH, CN, amino, C1-6 acylamino, C1-6 alkylsulfonylamino, C1-6 alkoxy carbonylamino, C1-6 alkoxy, C1-6 acyloxy or C1-6 alkyl, said alkyl optionally substituted with 1-3 groups of halogen, or C1-6 alkoxy; C3-6 cycloalkyl carbonyl optionally substituted with 1-3 groups of halogen, OH, C1-6 alkoxy or CN; benzoyl optionally substituted with 1-3 groups of halogen, OH, C1-6 alkoxy, C1-6 alkyl, CF<sub>3</sub>, C1-6 alkanoyl, amino or C1-6 acylamino; pyrrolyl carbonyl optionally substituted with 1-3 of C1-6 alkyl; C1-2 acyloxyacetyl where the acyl is optionally substituted with amino, C1-6 alkylamino, C1-6 dialkylamino, 4-morpholino, 4-aminophenyl, 4-(dialkylamino)phenyl, 4-(glycylamino)phenyl; or R<sub>5</sub> and R<sub>6</sub> taken together with any intervening atoms can form a 3 to 7 membered heterocyclic ring containing carbon atoms and 1-2 heteroatoms independently chosen from O, S, SO, SO<sub>2</sub>, N, or NR<sub>8</sub>;

R<sub>7</sub> represent

hydrogen, halogen, CN, CO<sub>2</sub>R, CON(R)<sub>2</sub>, CHO, CH<sub>2</sub>NHAc, C(=NOR), OH, C1-6 alkoxy, C1-6 alkyl, alkenyl, (CH<sub>2</sub>)<sub>n</sub>amino, (CH<sub>2</sub>)<sub>n</sub>C1-6 alkylamino, C1-6 dialkylamino, hydroxylamino or C1-2 alkoxyamino all of which can be optionally substituted on the nitrogen with C1-6 acyl, C1-6 alkylsulfonyl or C1-6 alkoxy carbonyl, said acyl and alkylsulfonyl optionally substituted with 1-2 of halogen or OH;

R<sub>8</sub> and R<sub>9</sub> independently represents

H, CN,

C1-6 alkyl optionally substituted with 1-3 halogen, CN, OH, C1-6 alkoxy, C1-6 acyloxy, or amino,

phenyl optionally substituted with 1-3 groups of halogen, OH, C1-6 alkoxy; or

R<sub>7</sub> and R<sub>8</sub> taken together can form a 3-7 membered carbon ring optionally interrupted with 1-2 heteroatoms chosen from O, S, SO, SO<sub>2</sub>, NH, and NR<sub>8</sub>;

X<sub>1</sub> represents O, S or NR<sub>13</sub>, NCN, NCO<sub>2</sub>R<sub>16</sub>, or NSO<sub>2</sub>R<sub>14</sub>

R<sub>10</sub> represents hydrogen, C<sub>1-6</sub> alkyl or CO<sub>2</sub>R<sub>15</sub>;

Each R<sub>13</sub> represents independently hydrogen, C<sub>1-6</sub> alkyl, C<sub>6-10</sub> aryl, NR<sub>5</sub>R<sub>6</sub>, SR<sub>8</sub>, S(O)R<sub>8</sub>, S(O)<sub>2</sub>R<sub>8</sub>, CN, OH, C<sub>1-6</sub> alkylS(O)R, C<sub>1-6</sub> alkoxycarbonyl, hydroxycarbonyl, C<sub>1-6</sub> acyl, C<sub>3-7</sub> membered carbon ring optionally interrupted with 1-4 heteroatoms chosen from O, S, SO, SO<sub>2</sub>, NH and NR<sub>8</sub> where said C<sub>1-6</sub> alkyl, aryl or C<sub>1-6</sub> acyl groups may be independently substituted with 0-3 halogens, hydroxy, N(R)<sub>2</sub>, CO<sub>2</sub>R, C<sub>6-10</sub> aryl, C<sub>5-10</sub> heteroaryl, or C<sub>1-6</sub> alkoxy groups;

When two R<sub>13</sub> groups are attached to the same atom or two adjacent atoms they may be taken together to form a 3-7 membered carbon ring optionally interrupted with 1-2 heteroatoms chosen from O, S, SO, SO<sub>2</sub>, NH, and NR<sub>8</sub>;

R represents hydrogen or C<sub>1-6</sub> alkyl;

R<sub>14</sub> represents amino, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> haloalkyl, five to six membered heterocycles or phenyl, said phenyl and heterocycles optionally substituted with 1-3 group of halo, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> acylamino, or C<sub>1-6</sub> alkyl, hydroxy and/or amino, said amino and hydroxy optionally protected with an amino or hydroxy protecting group;


R<sub>15</sub> is C<sub>1-6</sub> alkyl or benzyl said benzyl optionally substituted with 1-3 groups of halo, OH, C<sub>1-6</sub> alkoxy, amino, C<sub>1-6</sub> acylamino, or C<sub>1-6</sub> alkyl;

R<sub>16</sub> is hydrogen, C<sub>5-10</sub> heteroaryl, C<sub>6-10</sub> aryl, said heteroaryl and aryl optionally substituted with 1-3 groups of R<sub>7</sub>;

m, n, p and q represents 0-1.

2. A compound according to claim 1 wherein R<sub>1</sub> and R<sub>2</sub> independently represent H, NR<sub>5</sub>R<sub>6</sub>, CN, OH, C(R)<sub>2</sub>OR<sub>14</sub>, NHC(=X<sub>1</sub>)N(R<sub>13</sub>)<sub>2</sub>, C(=NOH)N(R<sub>13</sub>)<sub>2</sub>, NR<sub>10</sub>C(=X<sub>1</sub>)R<sub>13</sub> or CR<sub>7</sub>R<sub>8</sub>R<sub>9</sub>.



3. A compound according to claim 2 wherein  is phenyl, pyridine, pyrimidine, or piperidine.

4. A compound according to claim 3 wherein one of  $R_1$  and  $R_2$  is H and the other is  $NR_5R_6$ ; H and the other is CN; or H and the other is  $NR_{10}C(=X_1)R_{13}$ .

5. A compound according to claim 4 wherein A is C, --- is present, and  $Z=(O)_n$  where  $n=0$ ; A is C, --- is not present and  $Z=H$ , OH or halogen or A is N, --- is not present and  $Z=(O)_n$  where  $n=1$ .

6. A compound according to claim 5 wherein  $R_3$  is 1,2,3-triazole, 1,2,4-triazole, 1,2,5-triazole, tetrazole, pyrazole, or imidazole, any of which may contain 1 to 3 substituents of  $R_7$ .

7. A compound which is:

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-amino-3-azabicyclo[3.1.0]hexan-3-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-amino-3-azabicyclo[3.1.0]hexan-3-yl]-3,5-difluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-[(t-butyl)diphenylsilyl]oxy]methylbicyclo[3.1.0]hex-2-en-3-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-[(t-butyl)diphenylsilyl]oxy]methylbicyclo[3.1.0]hex-2-en-3-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-hydroxyoxymethylbicyclo[3.1.0]hex-2-en-3-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[3-fluoro-4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-hydroxyoxymethylbicyclo[3.1.0]hex-2-en-3-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-cyanobicyclo[3.1.0]hex-2-en-3-yl]phenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

1-[5(R)-3-[4-[(1 $\alpha$ ,5 $\alpha$ ,6 $\alpha$ )-6-cyanobicyclo[3.1.0]hex-2-en-3-yl]-3-fluorophenyl]-2-oxooxazolidin-5-ylmethyl]-1,2,3-triazole,

or its enantiomer, diastereomer, or pharmaceutically acceptable salt, hydrate or prodrug thereof.

8. A pharmaceutical composition comprised of a compound in accordance with claim 1 in combination with a pharmaceutically acceptable carrier and optionally a in combination with a vitamin selected from the group consisting vitamin B2, vitamin B6, vitamin B12 and folic acid.

9. A method of treating or preventing a bacterial infection in a mammalian patient in need thereof, comprising administering to said patient an effective amount of a compound of claim 1.

10. A method of treating or preventing bacterial infection or an oxazolidinone-associated side effect by administering an effective amount of a compound of formula I of claim 1 and an effective amount of one or more of a vitamin selected from the group consisting of vitamin B2, vitamin B6, vitamin B12 and folic acid to a patient in need thereof.

11. A method according to claim 10 for treating or preventing oxazolidinone-associated normocytic anemia, peripheral sensory neuropathy, sideroblastic anemia, peripheral sensory neuropathy, optic neurepathy, seizures, thrombocytopenia, cheilosis, hypo-regenerative anemia, megaloblastic anemia and seborrheic dermatitis by administering an effective amount of vitamin B2 to a patient in need thereof.